

534,160

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



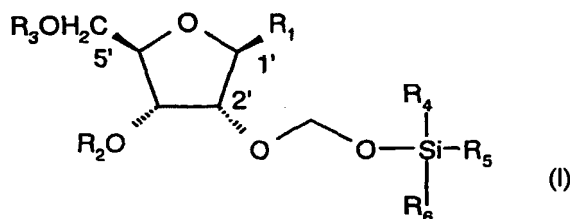
(43) International Publication Date
10 June 2004 (10.06.2004)

PCT

(10) International Publication Number
WO 2004/049274 A2

- (51) International Patent Classification⁷: **G07H** [GB/CH]; Ramstetweg 19, CH-4143 Dornach (CH).
MARTIN, Pierre [CH/CH]; Meisenweg 38, CH-4310 Rheinfelden (CH).
- (21) International Application Number:
PCT/EP2003/013113
- (22) International Filing Date:
21 November 2003 (21.11.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
0227352.2 22 November 2002 (22.11.2002) GB
- (71) Applicant (for all designated States except AT, US): **NOVARTIS AG** [CH/CH]; Lichtstrasse 35, CH-4056 Basel (CH).
- (71) Applicant (for AT only): **NOVARTIS PHARMA GMBH** [AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).
- (72) Inventors; and
(75) Inventors/Applicants (for US only): **NATT, François, Jean-Charles** [FR/CH]; Traugott Meyer-Strasse 5, CH-4147 Aesch (CH). **HUNZIKER, Jürg** [CH/CH]; Jurastrasse 23, CH-5000 Aarau (CH). **HALL, Jonathan**
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.
- (84) Designated States (regional): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).
- Published:
— without international search report and to be republished upon receipt of that report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: ORGANIC COMPOUNDS



(57) Abstract: The invention provides ribonucleoside derivatives with novel protecting groups and methods for the preparation of such ribonucleoside derivatives. The general formula (I) of the ribonucleoside derivatives is: wherein R₁ is a base of the purine- or pyrimidine-family or a derivative of such a base or any other residue with serves as a nucleobase surrogate, R₂ is a proton or a substituted derivative of phosphonic acid, R₃ is a proton or a protection-group for the oxygen atom in 5'-position, R₄, R₅ and R₆ are independently alkyl or aryl or a combination of alkyl and aryl or heteroatom, R₄,

R₅ or R₆ may also be cyclically connected to each other; and wherein at least one of the R₄, R₅ or R₆ substituents comprises a tertiary C-atom or a heteroatom vicinal to the Si-atom.

WO 2004/049274 A2